10556229a.trn

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JUL 02	LMEDLINE coverage updated
NEWS	3	JUL 02	SCISEARCH enhanced with complete author names
NEWS	4	JUL 02	CHEMCATS accession numbers revised
NEWS	5	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS	6	JUL 16	CAplus enhanced with French and German abstracts
NEWS	7	JUL 18	CA/CAplus patent coverage enhanced
NEWS	8	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS	9	JUL 30	USGENE now available on STN
NEWS	10	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	11	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	12	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS	13	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS	14	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	15	AUG 27	USPATOLD now available on STN
NEWS	16	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	17	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	18	SEP 13	FORIS renamed to SOFIS
NEWS	19	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	20	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS	21	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS	22	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	23	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	24	OCT 19	BEILSTEIN updated with new compounds

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:17:47 ON 09 NOV 2007

=>
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THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:18:03 ON 09 NOV 2007
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 NOV 2007 HIGHEST RN 952702-46-4
DICTIONARY FILE UPDATES: 8 NOV 2007 HIGHEST RN 952702-46-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
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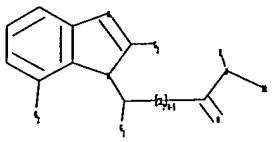
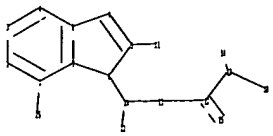




chain nodes :
10 11 12 13 14 15 18 21 22 25
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-25 5-21 6-10 10-11 10-22 11-12 12-13 12-15 13-14 13-18
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
1-25 5-6 5-9 5-21 6-7 6-10 8-9 10-22 12-13 12-15 13-18
exact bonds :
10-11 11-12 13-14
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

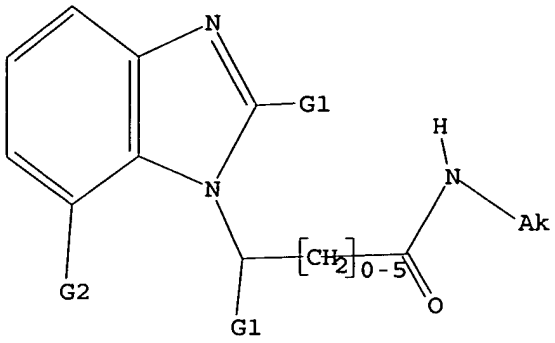
G1:H,Ak,CH3

G2:X,Ak,CN,NH2,NO2,Hy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 18:CLASS 21:CLASS 22:CLASS
25:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak,Me

G2 X,Ak,CN,NH2,NO2,Hy

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 10:18:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1280 TO ITERATE

100.0% PROCESSED     1280 ITERATIONS                                 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        23454 TO    27746
PROJECTED ANSWERS:               6 TO      266

L2             6 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:18:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    25941 TO ITERATE

100.0% PROCESSED    25941 ITERATIONS                               106 ANSWERS
SEARCH TIME: 00.00.02

L3           106 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             172.10     172.31

FILE 'HCAPLUS' ENTERED AT 10:18:37 ON 09 NOV 2007
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FILE COVERS 1907 - 9 Nov 2007 VOL 147 ISS 21
FILE LAST UPDATED: 8 Nov 2007 (20071108/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l3
L4            5 L3

=> s l4 and py<=2003
      23955823 PY<=2003
L5            0 L4 AND PY<=2003

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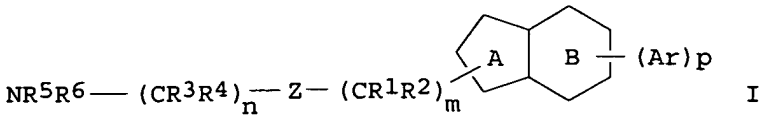
L4 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:1146647 HCAPLUS
DOCUMENT NUMBER: 147:448636
TITLE: Preparation of indoles, indazoles, benzimidazoles and their analogs as chemokine receptor CXCR4 and CCR7 inhibitors
INVENTOR(S): Thomas, William D.; Leleti, Manmohan Reddy; Pennell, Andrew M. K.
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 142pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007115231	A2	20071011	WO 2007-US65729	20070330

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-787925P P 20060330
GI



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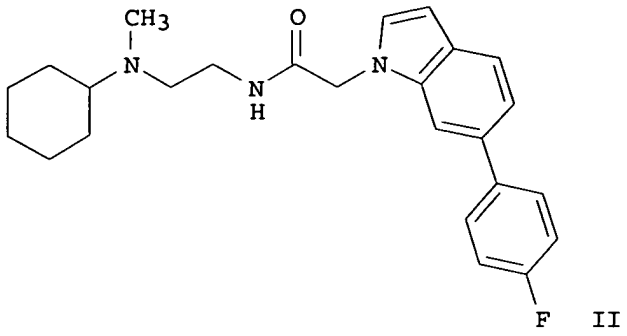


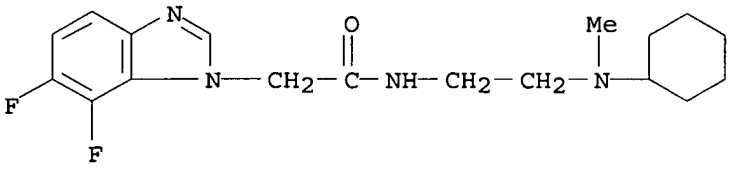
II

AB Title compds. I [wherein R1 - R4 independently = H, halo, alkyl, etc.; R5, R6 independently = H, alkyl, cycloalkyl, etc.; Z = C(O), C(O)O, CONH, etc.; m, n = 1-6; ring A = (un)substituted fused 5-membered heteroaryl or heterocycloalkyl; ring B = (un)substituted fused 6-membered (hetero)aryl or (hetero)cycloalkyl; Ar = (un)substituted (hetero)aryl; p = 0-1] and pharmaceutically acceptable salts, hydrates and N-oxides thereof, which can inhibit the binding of the SDF-1 chemokine to the chemokine receptor CXCR4 and/or the binding of the SDF-1 or I-TAC chemokines to the chemokine receptor CCXCKR2 (CXCR7), were prepared For instance, II was synthesized and had IC50 < 1 μM for both CXCR4 and CXCR7 receptors in chemotaxis or binding assays. The invented compds. and their pharmaceutical compns. are useful for the treatment of CXCR4-mediated diseases or conditions.

IT 952186-47-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoles, indazoles, benzimidazoles and their analogs as chemokine receptor CXCR4 and CCR7 inhibitors)

RN 952186-47-9 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, N-[2-(cyclohexylmethylamino)ethyl]-6,7-difluoro- (CA INDEX NAME)



L4 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:703476 HCAPLUS

DOCUMENT NUMBER: 147:118229

TITLE: Benzimidazole compounds and their preparation, pharmaceutical compositions and use in the treatment of VR1-mediated diseases

INVENTOR(S): Besidski, Yevgeni; Griffin, Andrew; Labrecque, Denis;

Johnstone, Shawn; Jones, Paul; Kers, Inger; Nyloef, Martin; Skogholm, Karin
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 89pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007073303	A2	20070628	WO 2006-SE1467	20061221
WO 2007073303	A3	20070830		

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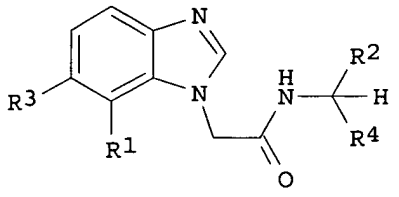
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-753604P P 20051223
OTHER SOURCE(S): MARPAT 147:118229
GI



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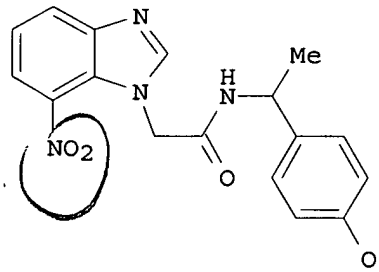

II

AB The invention relates to new compds. formula I or salts, solvates or solvated salts thereof, processes for their preparation and to intermediates used in the preparation thereof, pharmaceutical compns. containing said compds. and to the use of said compds. in therapy. Compds. of formula II wherein R1 is NO2, CN, halo, and acetyl; R2 is (un)substituted Ph, (un)substituted

heteroaryl, (un)substituted PhCH2, and (un)substituted PhOCH2; R3 is H and F; R4 is Me, MeOCO, and Et; R2R4 taken together may form (mono/bi)cyclic ring; and their salts, solvates and solvated salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their VR1 inhibitory activity.

IT 942937-12-4P 942937-13-5P 942937-14-6P
942937-15-7P 942937-16-8P 942937-17-9P
942937-18-0P 942937-19-1P 942937-20-4P
942937-21-5P 942937-22-6P 942937-23-7P
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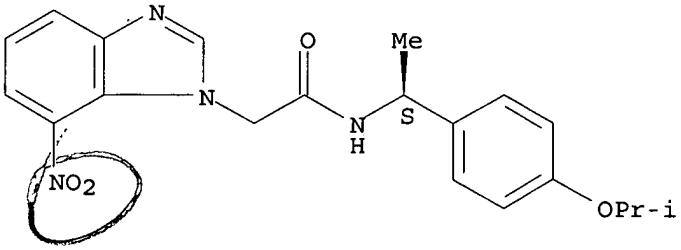
942938-14-9P 942938-15-0P 942938-16-1P
942938-17-2P 942938-18-3P 942938-19-4P
942938-79-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazole compds. useful in therapy of VR1 - mediated diseases)

RN 942937-12-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[(1S)-1-[4-(1-methylethoxy)phenyl]ethyl]-7-nitro- (CA INDEX NAME)

Absolute stereochemistry.



RN 942937-13-5 HCAPLUS
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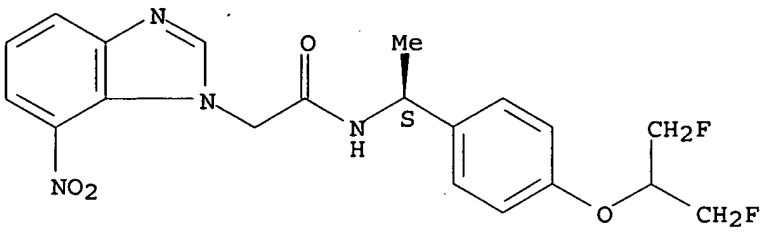
Absolute stereochemistry.



RN 942937-14-6 HCAPLUS
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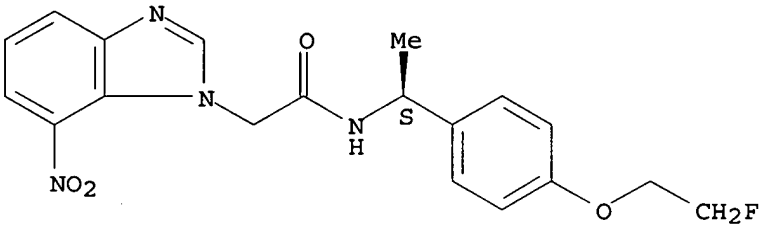
Absolute stereochemistry.



RN 942937-15-7 HCAPLUS
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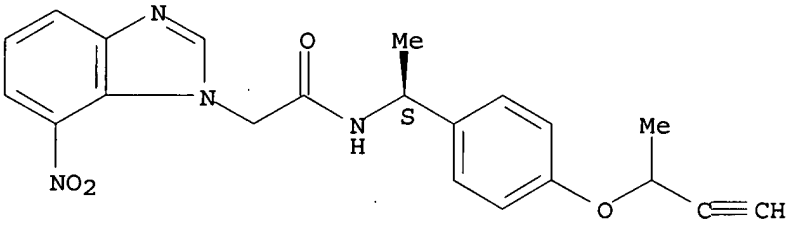
Absolute stereochemistry.



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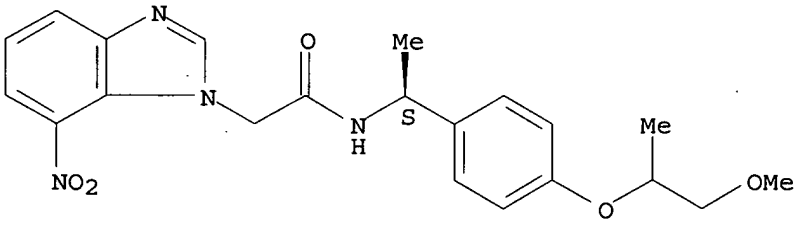
Absolute stereochemistry.



RN 942937-17-9 HCAPLUS

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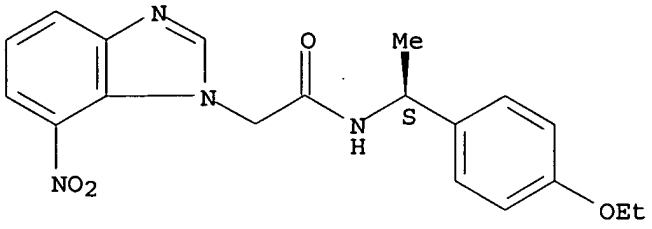
Absolute stereochemistry.



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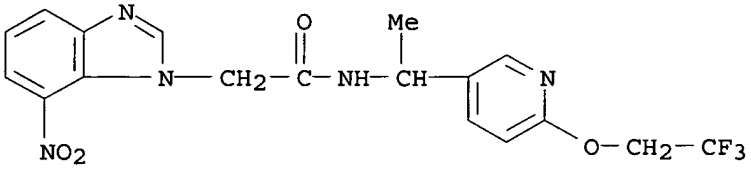

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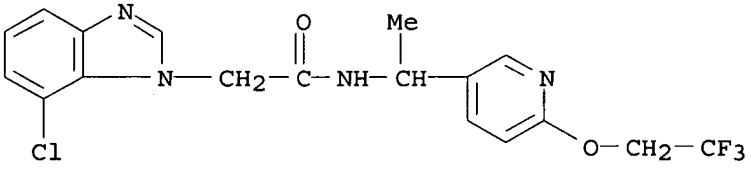

RN 942937-20-4 HCAPLUS
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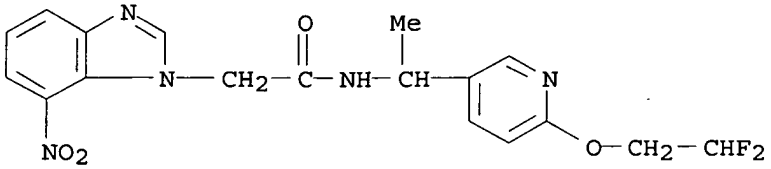

RN 942937-21-5 HCAPLUS
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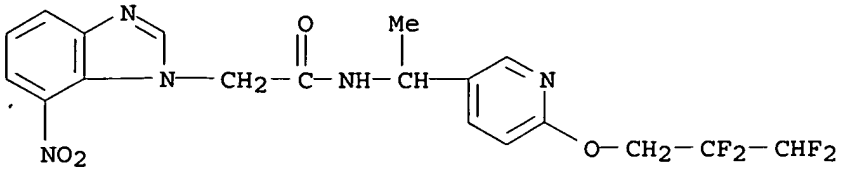
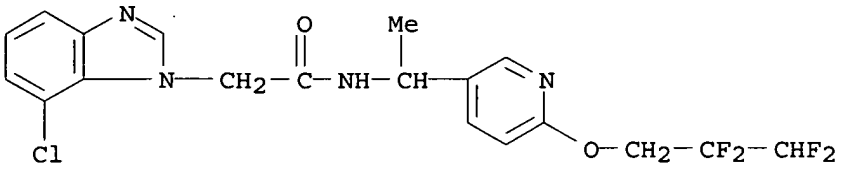
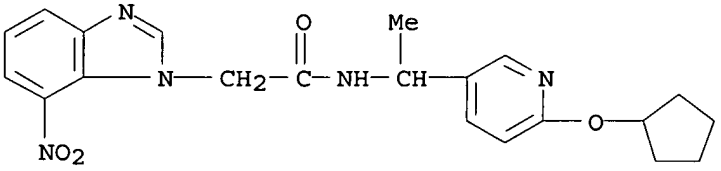


RN 942937-22-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-[6-(2,2,3,3-tetrafluoropropoxy)-3-pyridinyl]ethyl]- (CA INDEX NAME)

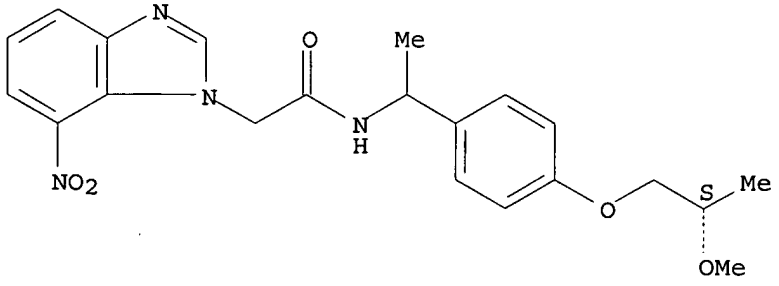


RN 942937-23-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[6-(cyclopentyloxy)-3-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)



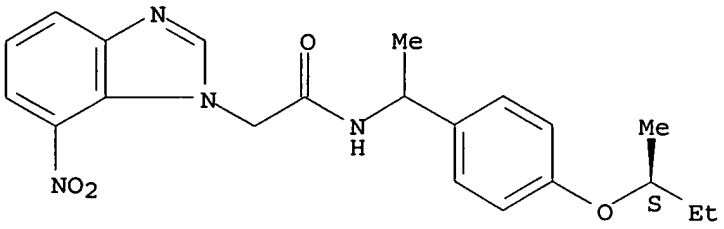
RN 942937-24-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[4-[(2S)-2-methoxypropoxy]phenyl]ethyl]-7-nitro- (CA INDEX NAME)

Absolute stereochemistry.

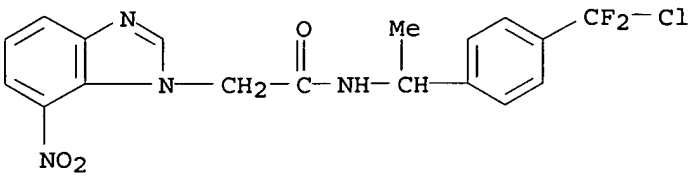


RN 942937-25-9 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[4-[(1S)-1-methylpropoxy]phenyl]ethyl]-7-nitro- (CA INDEX NAME)

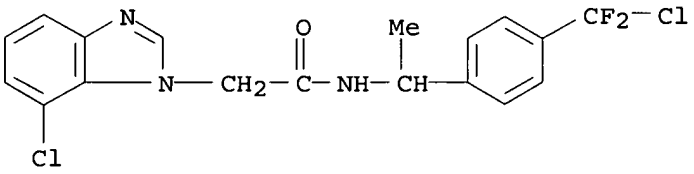
Absolute stereochemistry.



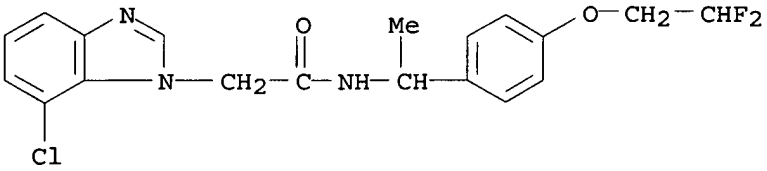
RN 942937-26-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[4-(chlorodifluoromethyl)phenyl]ethyl]-7-nitro- (CA INDEX NAME)



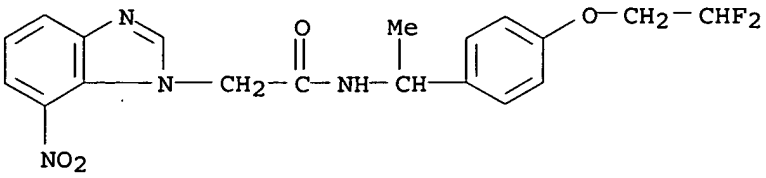
RN 942937-27-1 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-[4-(chlorodifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



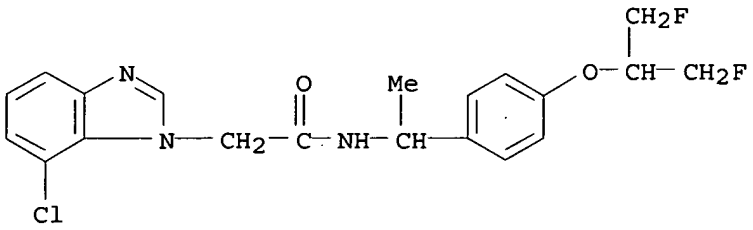
RN 942937-28-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-[4-(2,2-difluoroethoxy)phenyl]ethyl]- (CA INDEX NAME)



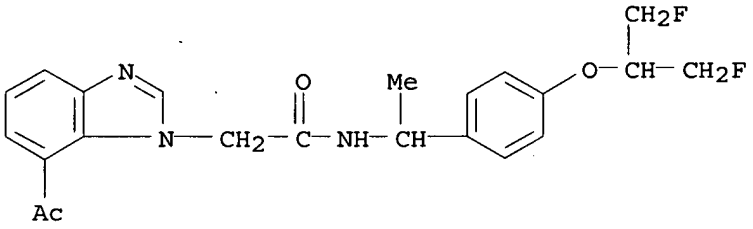
RN 942937-29-3 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[4-(2,2-difluoroethoxy)phenyl]ethyl]-7-nitro- (CA INDEX NAME)



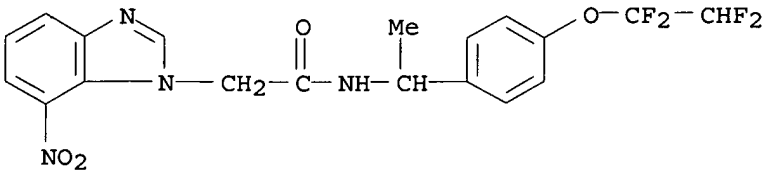
RN 942937-30-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-[4-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)



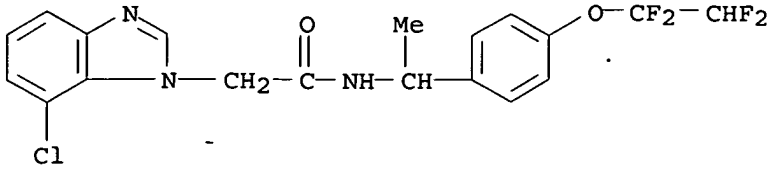
RN 942937-31-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-acetyl-N-[1-[4-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)



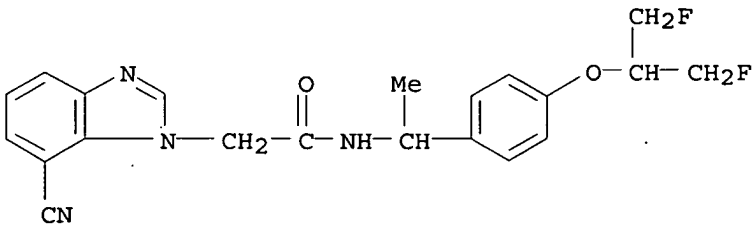
RN 942937-32-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[1-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]ethyl]- (CA INDEX NAME)



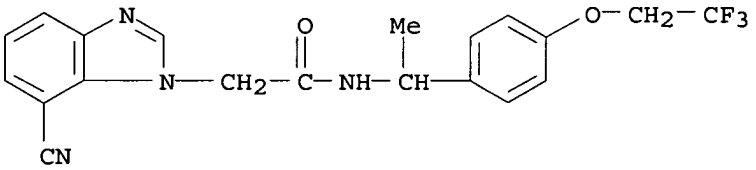
RN 942937-33-9 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]ethyl]- (CA INDEX NAME)



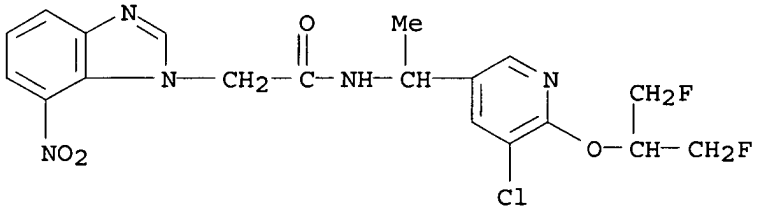
RN 942937-34-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[4-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)



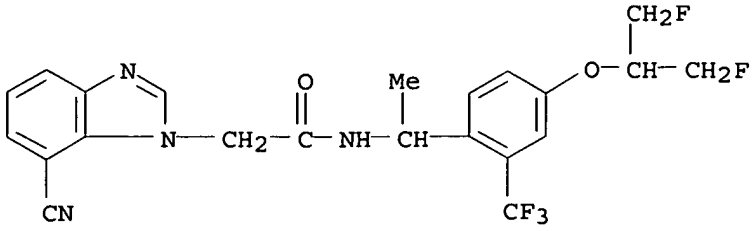
RN 942937-36-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[4-(2,2,2-trifluoroethoxy)phenyl]ethyl]- (CA INDEX NAME)



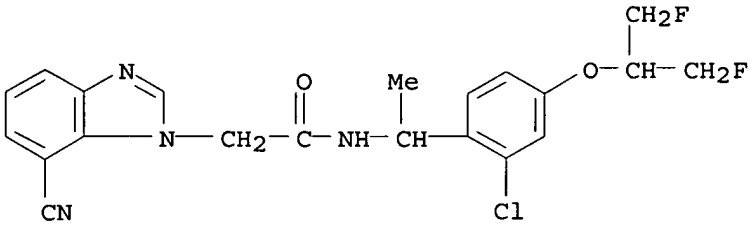
RN 942937-37-3 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[5-chloro-6-[2-fluoro-1-(fluoromethyl)ethoxy]-3-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)



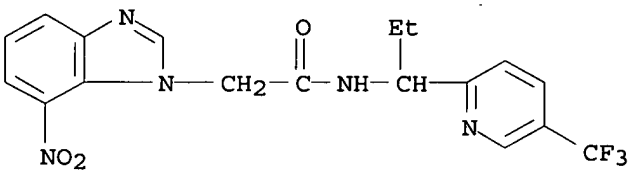
RN 942937-38-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[4-[2-fluoro-1-(fluoromethyl)ethoxy]-2-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



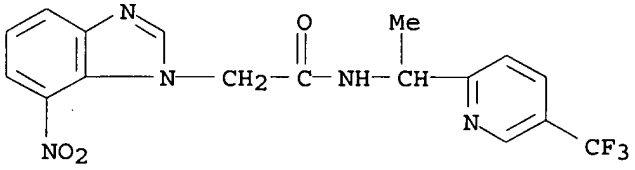
RN 942937-39-5 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[2-chloro-4-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]ethyl]-7-cyano- (CA INDEX NAME)



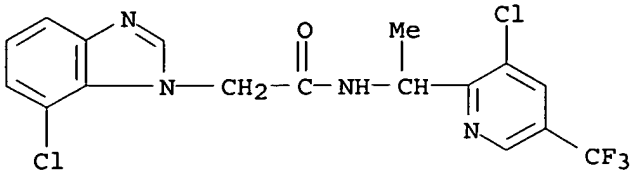
RN 942937-41-9 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[1-[5-(trifluoromethyl)-2-pyridinyl]propyl]- (CA INDEX NAME)



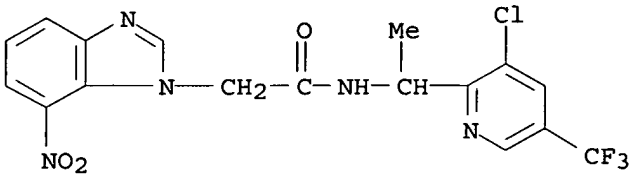
RN 942937-43-1 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[1-[5-(trifluoromethyl)-2-pyridinyl]ethyl]- (CA INDEX NAME)



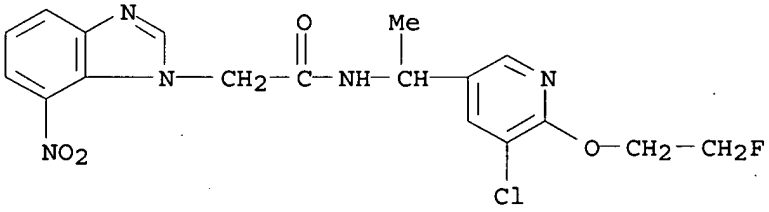
RN 942937-45-3 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (CA INDEX NAME)



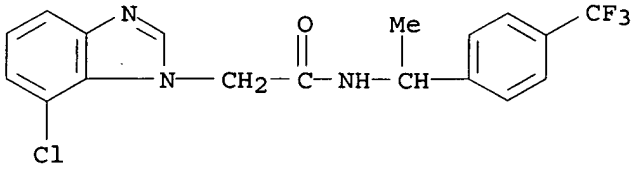
RN 942937-47-5 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)



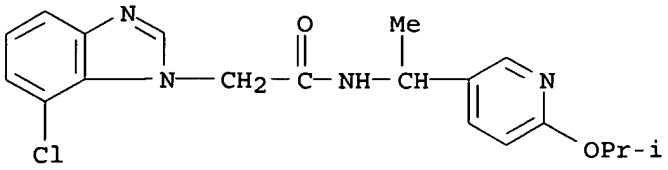
RN 942937-49-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[5-chloro-6-(2-fluoroethoxy)-3-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)



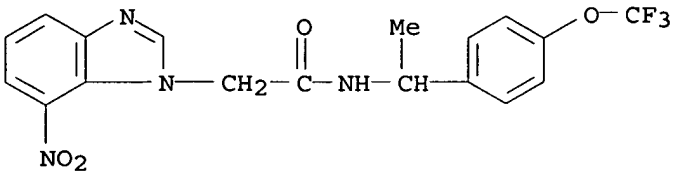
RN 942937-50-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-[4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



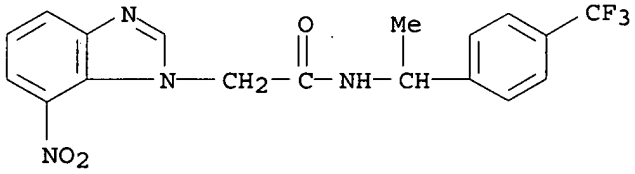
RN 942937-52-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-[6-(1-methylethoxy)-3-pyridinyl]ethyl]- (CA INDEX NAME)



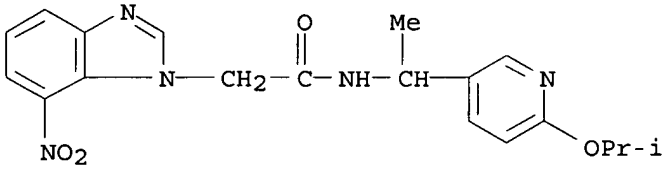
RN 942937-54-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[1-[4-(trifluoromethoxy)phenyl]ethyl]- (CA INDEX NAME)



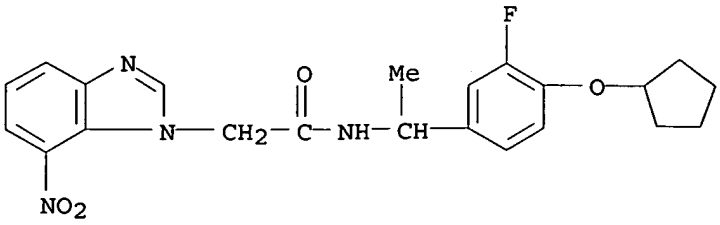
RN 942937-56-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[1-[4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



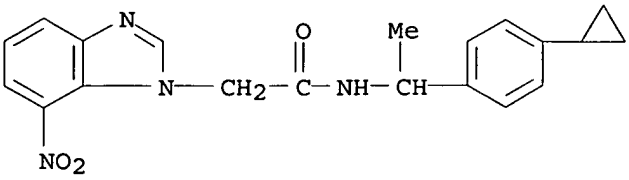
RN 942937-58-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[6-(1-methylethoxy)-3-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)



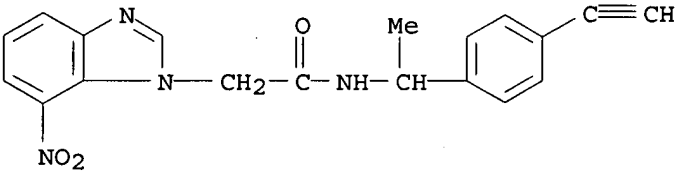
RN 942937-59-9 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[4-(cyclopentyloxy)-3-fluorophenyl]ethyl]-7-nitro- (CA INDEX NAME)



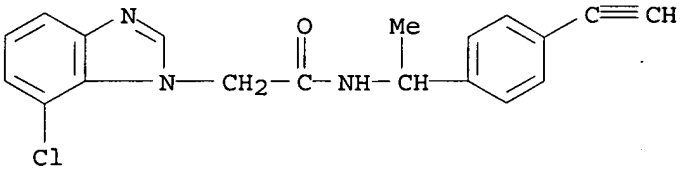
RN 942937-60-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-(4-cyclopropylphenyl)ethyl]-7-nitro- (CA INDEX NAME)



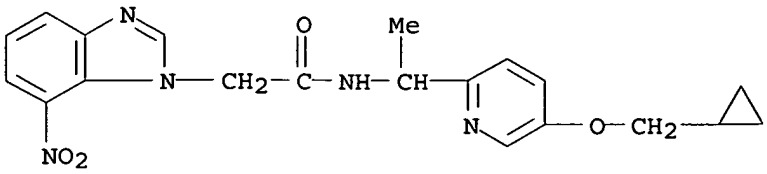
RN 942937-62-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-(4-ethynylphenyl)ethyl]-7-nitro- (CA INDEX NAME)



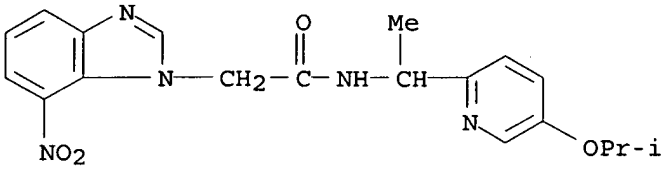
RN 942937-64-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-chloro-N-[1-(4-ethynylphenyl)ethyl]- (CA INDEX NAME)



RN 942937-65-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[5-(cyclopropylmethoxy)-2-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)

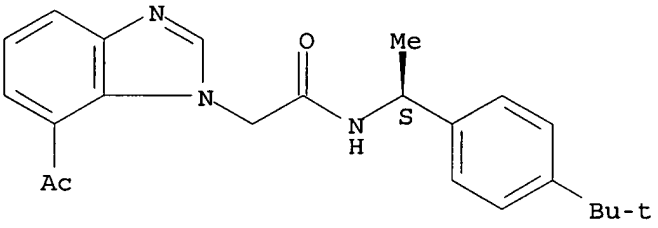


RN 942937-66-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[5-(1-methylethoxy)-2-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)

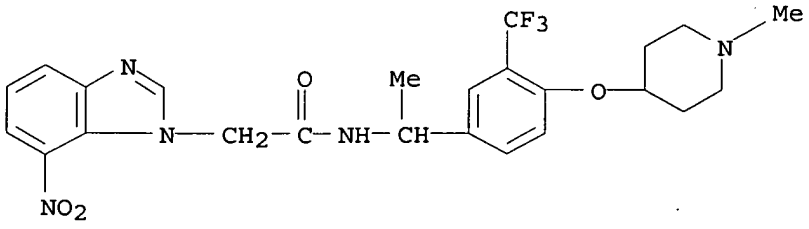


RN 942937-67-9 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-acetyl-N-[(1S)-1-[4-(1,1-dimethylethyl)phenyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

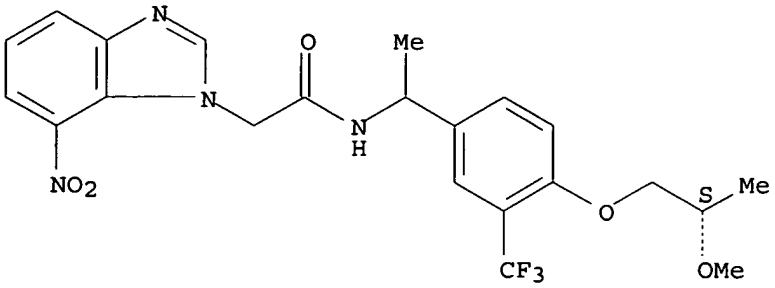


RN 942937-69-1 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]ethyl]-7-nitro- (CA INDEX NAME)

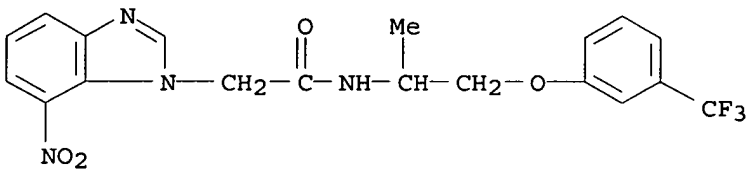


RN 942937-70-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[4-[(2S)-2-methoxypropoxy]-3-(trifluoromethyl)phenyl]ethyl]-7-nitro- (CA INDEX NAME)

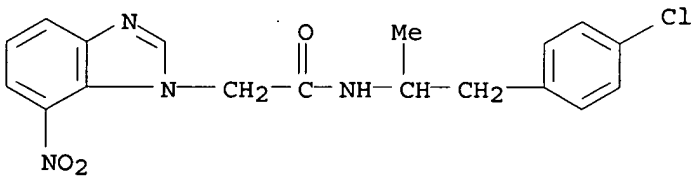
Absolute stereochemistry.



RN 942937-72-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-methyl-2-[3-(trifluoromethyl)phenoxy]ethyl]-7-nitro- (CA INDEX NAME)

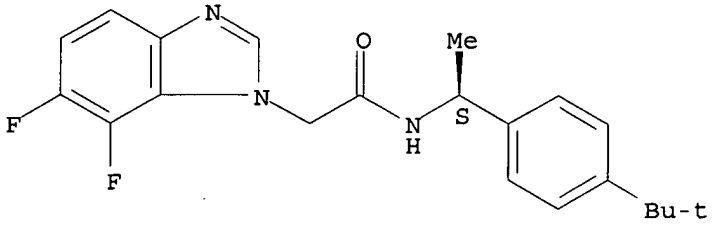


RN 942937-74-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[2-(4-chlorophenyl)-1-methylethyl]-7-nitro- (CA INDEX NAME)

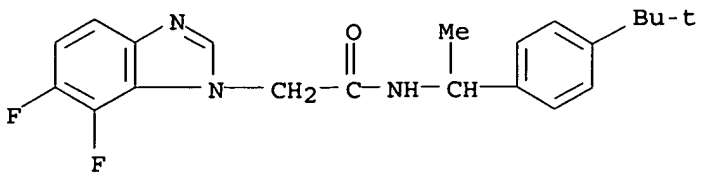


RN 942937-80-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[(1S)-1-[4-(1,1-dimethylethyl)phenyl]ethyl]-6,7-difluoro- (CA INDEX NAME)

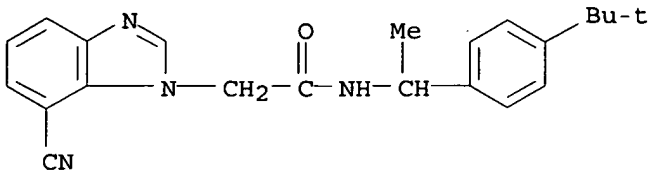
Absolute stereochemistry.



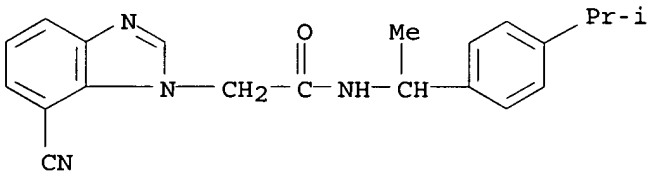
RN 942937-82-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-6,7-difluoro- (CA INDEX NAME)



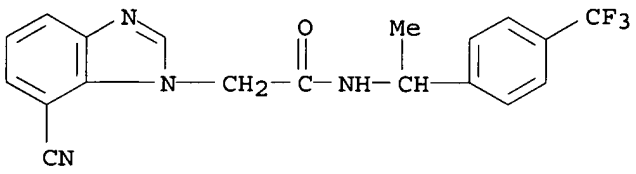
RN 942937-84-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[4-(1,1-dimethylethyl)phenyl]ethyl]- (CA INDEX NAME)



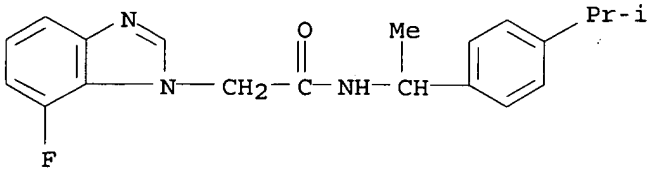
RN 942937-86-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[4-(1-methylethyl)phenyl]ethyl]- (CA INDEX NAME)



RN 942937-88-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

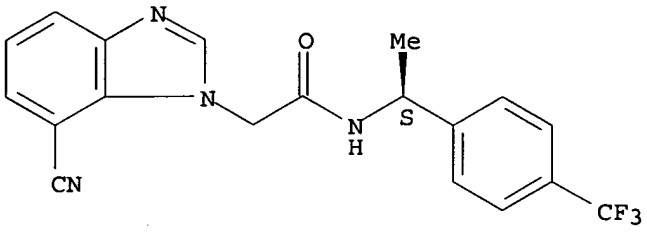


RN 942937-90-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-fluoro-N-[1-[4-(1-methylethyl)phenyl]ethyl]- (CA INDEX NAME)

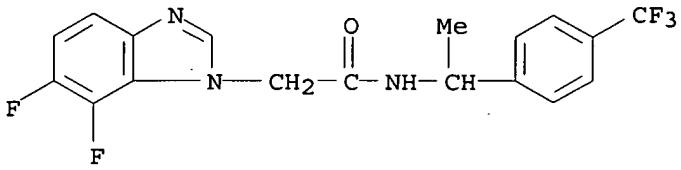


RN 942937-92-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[(1S)-1-[4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

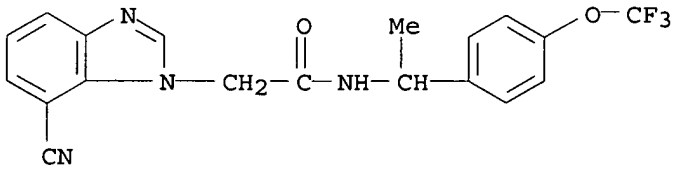
Absolute stereochemistry.



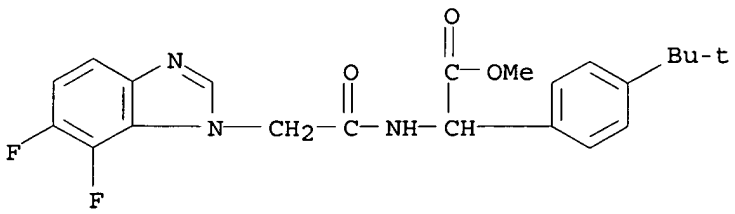
RN 942937-94-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 6,7-difluoro-N-[1-[4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



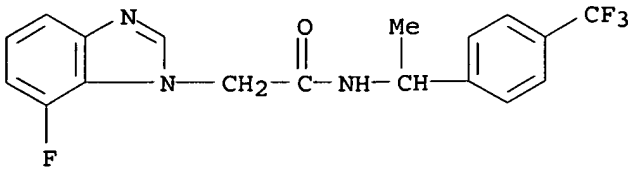
RN 942937-96-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[4-(trifluoromethoxy)phenyl]ethyl]- (CA INDEX NAME)



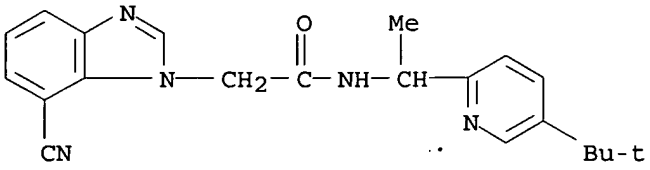
RN 942937-97-5 HCAPLUS
CN Benzeneacetic acid, α-[[2-(6,7-difluoro-1H-benzimidazol-1-yl)acetyl]amino]-4-(1,1-dimethylethyl)-, methyl ester (CA INDEX NAME)



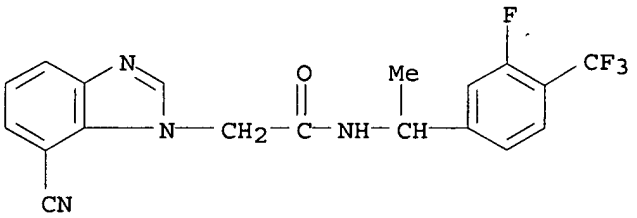
RN 942937-99-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-fluoro-N-[1-[4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



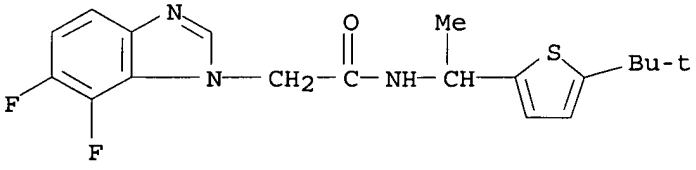
RN 942938-01-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[5-(1,1-dimethylethyl)-2-pyridinyl]ethyl]- (CA INDEX NAME)



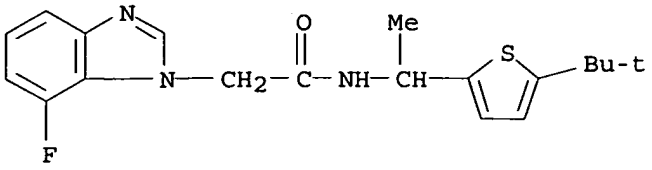
RN 942938-03-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[3-fluoro-4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



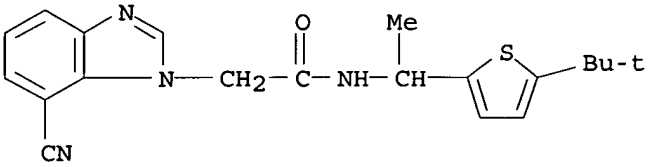
RN 942938-05-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[5-(1,1-dimethylethyl)-2-thienyl]ethyl]-6,7-difluoro- (CA INDEX NAME)



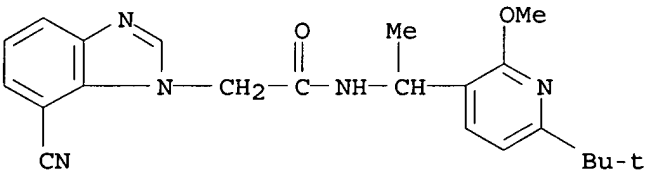
RN 942938-07-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[5-(1,1-dimethylethyl)-2-thienyl]ethyl]-7-fluoro- (CA INDEX NAME)



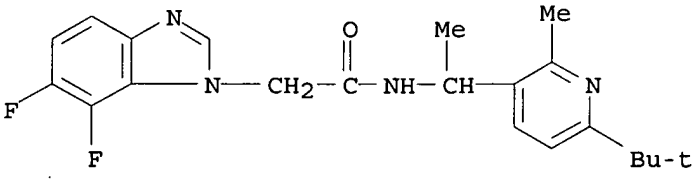
RN 942938-08-1 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[5-(1,1-dimethylethyl)-2-thienyl]ethyl]- (CA INDEX NAME)



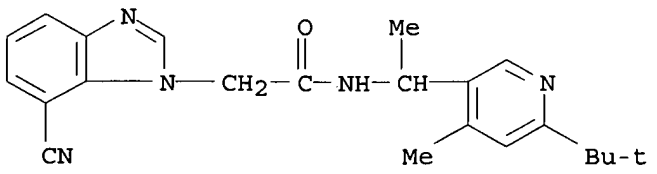
RN 942938-10-5 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[6-(1,1-dimethylethyl)-2-methoxy-3-pyridinyl]ethyl]- (CA INDEX NAME)



RN 942938-12-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[6-(1,1-dimethylethyl)-2-methyl-3-pyridinyl]ethyl]-6,7-difluoro- (CA INDEX NAME)



RN 942938-14-9 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[1-[6-(1,1-dimethylethyl)-4-methyl-3-pyridinyl]ethyl]- (CA INDEX NAME)



RN 942938-15-0 HCAPLUS
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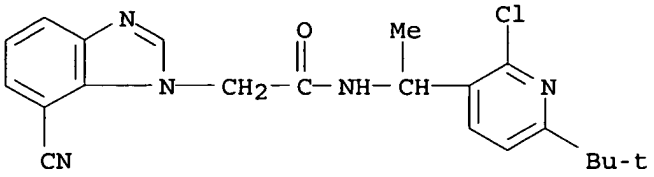

RN 942938-16-1 HCAPLUS
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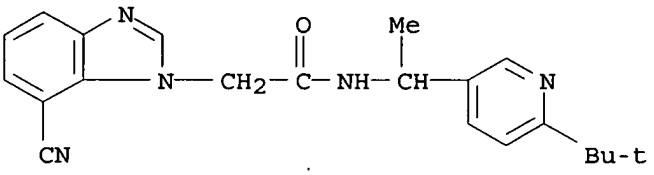
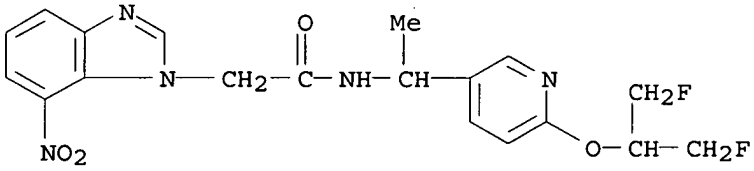
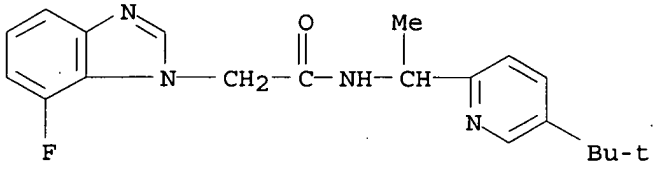


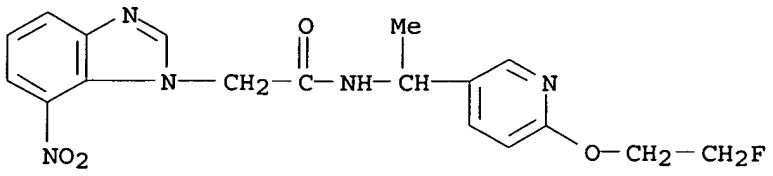
RN 942938-17-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[6-[2-fluoro-1-(fluoromethyl)ethoxy]-3-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)



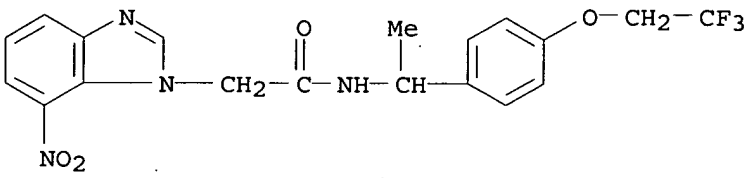
RN 942938-18-3 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[5-(1,1-dimethylethyl)-2-pyridinyl]ethyl]-7-fluoro- (CA INDEX NAME)



RN 942938-19-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1-[6-(2-fluoroethoxy)-3-pyridinyl]ethyl]-7-nitro- (CA INDEX NAME)

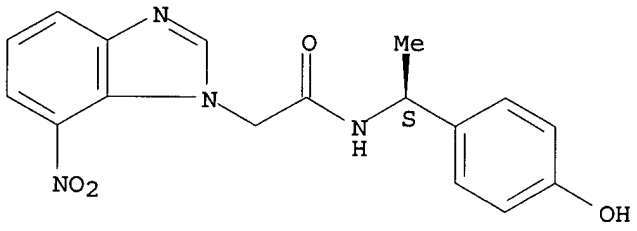


RN 942938-79-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[1-[4-(2,2,2-trifluoroethoxy)phenyl]ethyl]- (CA INDEX NAME)



IT 942938-26-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzimidazole compds. useful in therapy of VR1 - mediated diseases)
RN 942938-26-3 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[(1S)-1-(4-hydroxyphenyl)ethyl]-7-nitro- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:298140 HCAPLUS
DOCUMENT NUMBER: 144:331439
TITLE: Preparation of benzimidazol-1-yl-substituted alkanoic acid amides as vanilloid receptor 1 antagonists with analgesic and other therapeutic potential
INVENTOR(S): Besidski, Yevgeni; Kers, Inger; Nyloef, Martin; Slaitas, Andis
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006033620	A1	20060330	WO 2005-SE1364	20050919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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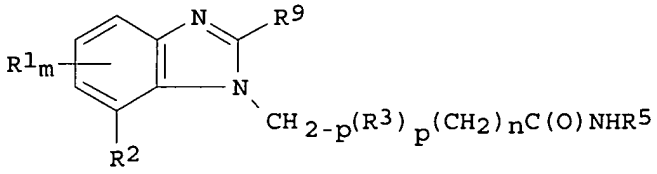
IN 2007DN01584	A	20070803	IN 2007-DN1584	20070227
KR 2007056104	A	20070531	KR 2007-706447	20070321
NO 2007002005	A	20070615	NO 2007-2005	20070419
PRIORITY APPLN. INFO.:			SE 2004-2284	A 20040921
			WO 2005-SE1364	W 20050919

OTHER SOURCE(S): CASREACT 144:331439; MARPAT 144:331439

GI



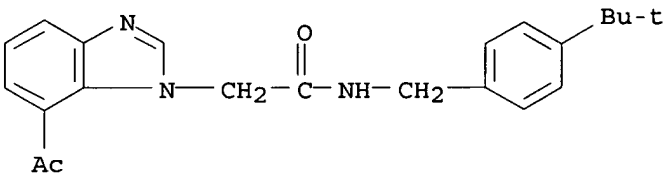
I

AB The present invention relates to benzimidazol-1-yl-substituted alkanoic acid amides (shown as I; variables defined below; e.g. 2-(7-amino-1H-benzimidazol-1-yl)-N-(4-tert-butylbenzyl)acetamide (II)) or salts, solvates or solvated salts thereof, processes for their preparation and to new intermediates used in the preparation thereof, pharmaceutical compns. containing said compds. and to the use of said compds. in therapy. For I: R1 is H, NO2, halo, NR6R7, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, C1-6haloalkyl, C1-6haloalkylO, R6OC0-6alkyl, R6CO, R6OCO or CONR6R7; m = 0-3; R2 is H, NO2, halo, NR6R7, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, C1-6haloalkyl, C1-6haloalkylO, cyano, R6OC0-6alkyl, R6CO, R6OCO, R6CONR7, R6R7NCO, R8SO2, R8SO2HN, arylC0-6alkyl or heteroarylC0-6alkyl; R3 and R9 = H or C1-4alkyl; R2 and R3 optionally form a ring; p = 0-2; n = 0, 2, 3 or 4; R5 is C1-10alkyl, C6-10arylC0-6alkyl, C3-7cycloalkylC0-6alkyl or C5-6heteroarylC0-6alkyl, whereby any aryl, heteroaryl or cycloalkyl may be fused with aryl, heteroaryl, C3-7cycloalkyl or C3-7heterocycloalkyl, and which R5 may be substituted with ≥1 A; A is H, OH, NO2, cyano, R6CO, R6O(CO), halo, C1-6alkyl, NR6R7, C1-6haloalkyl, C1-6haloalkylO, R6OC0-6alkyl, hydroxyC1-6alkyl, R8SO2, R8SO2HN, C5-6arylO or CONR6R7; R6 and R7 = H or C1-6alkyl; and R8 is NR6R7 or C1-4alkyl. Although the

methods of preparation are not claimed, prepns. and/or characterization data for 65 examples of I are included. Many of the examples were prepared from a 7-substituted (1H-benzimidazol-1-yl)acetic acid (prepns. described) and an amine in MeCN in the presence of Et3N and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate. IC50 values for 4 examples of I acting as antagonists of the vanilloid receptor 1 in the presence of agonists like capsaicin or 2-(morpholino)ethanesulfonic acid are tabulated.

IT 880882-44-0P, 2-(7-Acetyl-1H-benzimidazol-1-yl)-N-(4-tert-butylbenzyl)acetamide 880882-58-6P, 2-(7-Amino-1H-benzimidazol-1-yl)-N-(4-tert-butylbenzyl)acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzimidazol-1-yl-substituted alkanoic acid amides as vanilloid receptor 1 antagonists with analgesic and other therapeutic potential)

RN 880882-44-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-acetyl-N-[[4-(1,1-dimethylethyl)phenyl]methyl]- (CA INDEX NAME)



RN 880882-58-6 HCAPLUS
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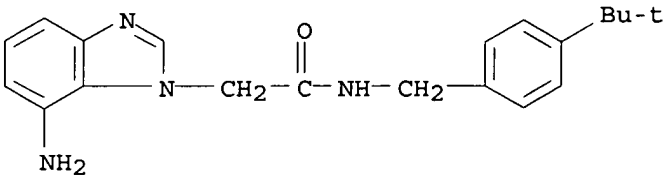
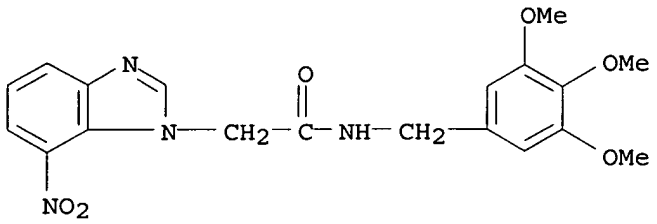


IT 880882-28-0P, 2-(7-Nitro-1H-benzimidazol-1-yl)-N-(3,4,5-trimethoxybenzyl)acetamide 880882-29-1P, N-(3,4-Difluorobenzyl)-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-30-4P, N-[2-(4-Methoxyphenyl)ethyl]-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-31-5P, N-[2-(3-Fluorophenyl)ethyl]-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-32-6P, N-[2-(3-Methoxyphenyl)ethyl]-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-33-7P, 2-(7-Nitro-1H-benzimidazol-1-yl)-N-[2-[3-(trifluoromethyl)phenyl]ethyl]acetamide 880882-34-8P, N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-35-9P, N-[2-(3,5-Dimethoxyphenyl)ethyl]-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-37-1P, N-[2-(5-Bromo-2-methoxyphenyl)ethyl]-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-38-2P, N-[1-(4-Chlorobenzyl)-2-hydroxyethyl]-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-39-3P, N-(2-Hydroxy-2-phenylethyl)-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 880882-49-5P, N-(4-tert-Butylbenzyl)-2-(7-cyano-1H-benzimidazol-1-

yl)acetamide 880882-55-3P, N-(4-tert-Butylbenzyl)-2-[7-(pyridin-2-yl)-1H-benzimidazol-1-yl]acetamide 880882-59-7P, N-(4-tert-Butylbenzyl)-2-(7-iodo-1H-benzimidazol-1-yl)acetamide 880882-62-2P, N-(4-tert-Butylbenzyl)-2-[7-(1-hydroxyethyl)-1H-benzimidazol-1-yl]acetamide 880882-71-3P, Ethyl 1-[2-[(4-tert-butylbenzyl)amino]-2-oxoethyl]-1H-benzimidazole-7-carboxylate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazol-1-yl-substituted alkanoic acid amides as vanilloid receptor 1 antagonists with analgesic and other therapeutic potential)

RN 880882-28-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 880882-29-1 HCAPLUS
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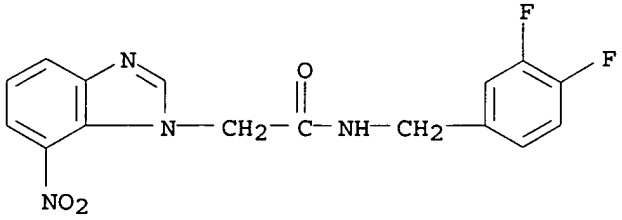
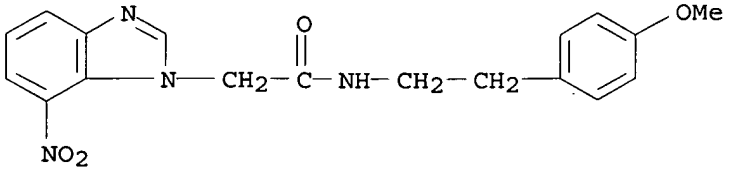
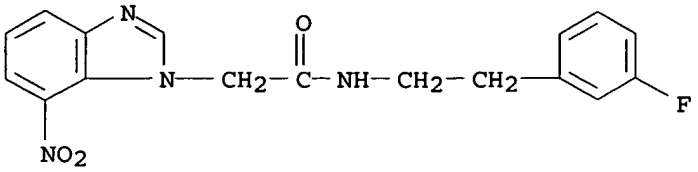


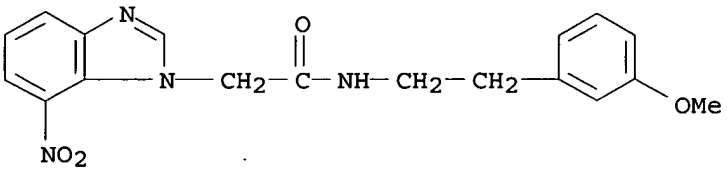
RN 880882-30-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[2-(4-methoxyphenyl)ethyl]-7-nitro- (CA INDEX NAME)



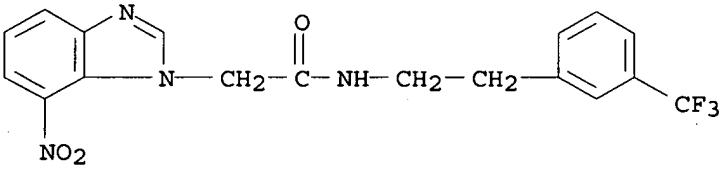
RN 880882-31-5 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[2-(3-fluorophenyl)ethyl]-7-nitro- (CA INDEX NAME)



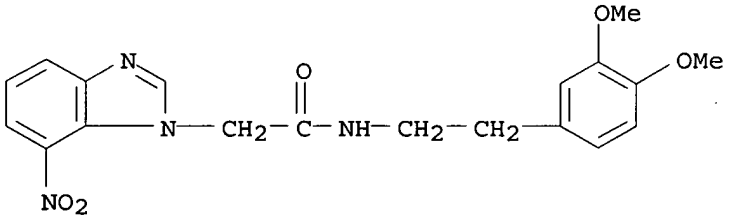
RN 880882-32-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[2-(3-methoxyphenyl)ethyl]-7-nitro- (CA INDEX NAME)



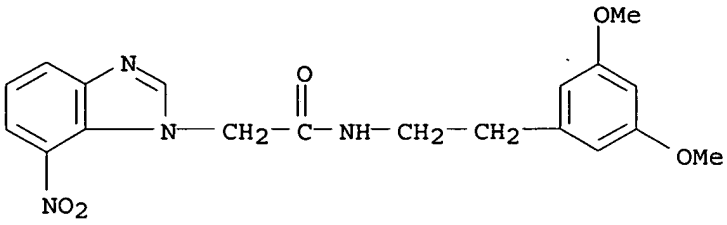
RN 880882-33-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[2-[3-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



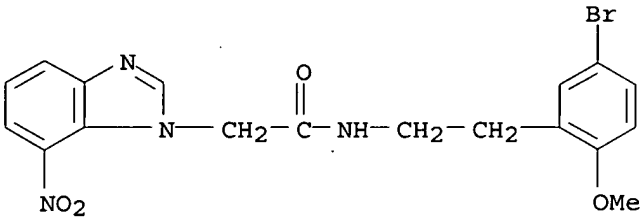
RN 880882-34-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-7-nitro- (CA INDEX NAME)



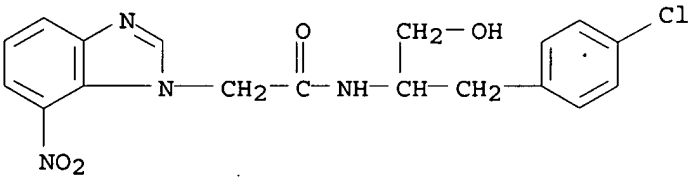
RN 880882-35-9 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-7-nitro- (CA INDEX NAME)



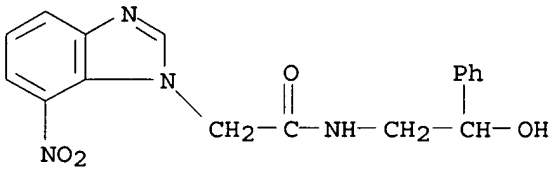
RN 880882-37-1 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[2-(5-bromo-2-methoxyphenyl)ethyl]-7-nitro- (CA INDEX NAME)



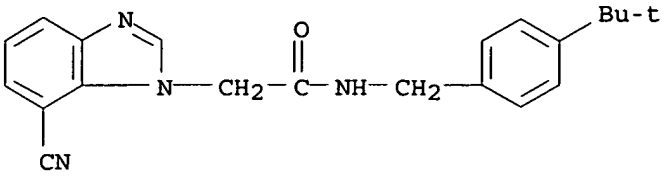
RN 880882-38-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[2-(4-chlorophenyl)-1-(hydroxymethyl)ethyl]-7-nitro- (CA INDEX NAME)



RN 880882-39-3 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-hydroxy-2-phenylethyl)-7-nitro- (CA INDEX NAME)



RN 880882-49-5 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-cyano-N-[[4-(1,1-dimethylethyl)phenyl]methyl]- (CA INDEX NAME)



RN 880882-55-3 HCAPLUS
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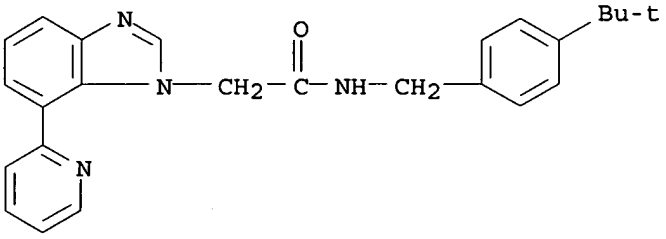
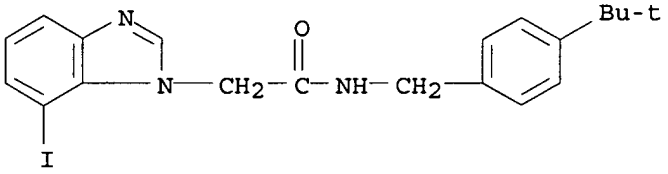
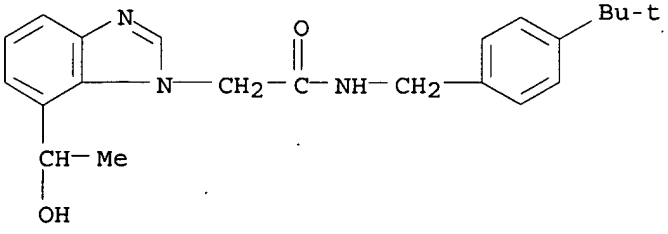


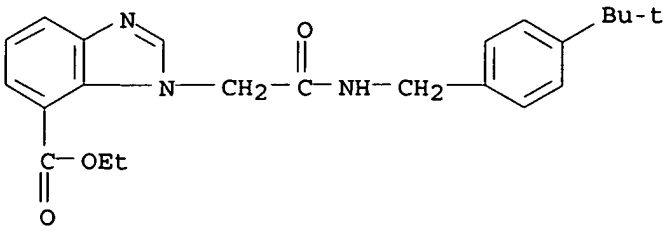
RN 880882-59-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-7-iodo- (CA INDEX NAME)



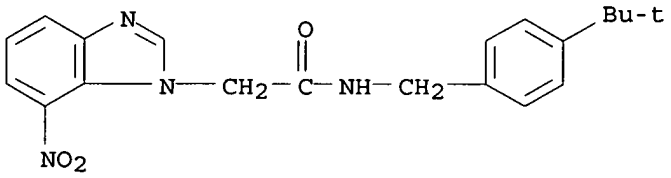
RN 880882-62-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-7-(1-hydroxyethyl)- (CA INDEX NAME)



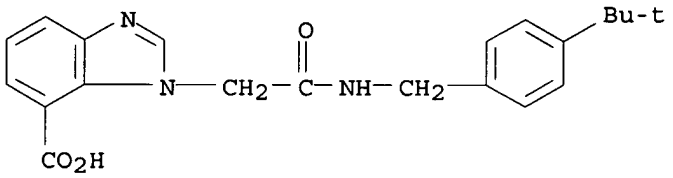
RN 880882-71-3 HCAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]-2-oxoethyl]-, ethyl ester (CA INDEX NAME)



IT 796973-43-8, 2-(7-Nitro-1H-benzimidazol-1-yl)-N-(4-tert-butylbenzyl)acetamide 880882-72-4, 1-[2-[(4-tert-Butylbenzyl)amino]-2-oxoethyl]-1H-benzimidazole-7-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzimidazol-1-yl-substituted alkanoic acid amides as vanilloid receptor 1 antagonists with analgesic and other therapeutic potential)
RN 796973-43-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-7-nitro- (CA INDEX NAME)



RN 880882-72-4 HCAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]-2-oxoethyl]- (CA INDEX NAME)



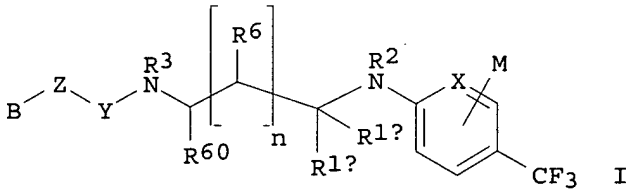
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1103734 HCAPLUS
DOCUMENT NUMBER: 143:386764
TITLE: Preparation of aniline derivatives as kininogenase inhibitors
INVENTOR(S): Tokumasu, Munetaka; Sugiki, Masayuki; Hirashima, Haruko; Matsumoto, Hideki; Yoshimura, Toshihiko; Nogi, Yasuko; Takahashi, Mitsuo; Kitazawa, Manabu; Oonuki, Akiko; Fukuchi, Naoyuki; Shima, Yoichiro
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan; et al.
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095327	A1	20051013	WO 2005-JP6834	20050331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1736465	A1	20061227	EP 2005-728768	20050331
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
US 2007066586	A1	20070322	US 2006-537139	20060929
PRIORITY APPLN. INFO.:			JP 2004-107368 A	20040331
			WO 2005-JP6834 W	20050331

OTHER SOURCE(S): MARPAT 143:386764
GI



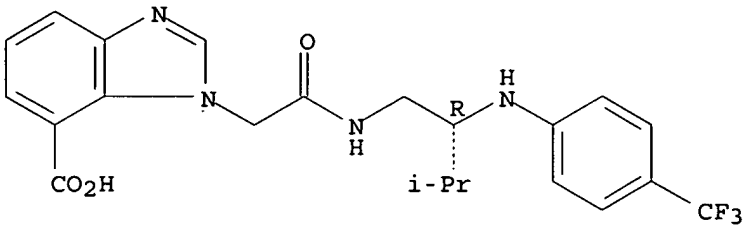
I

AB The title compds., e.g. I [X = C, N ; M = H, halo, (un)substituted alkyl, etc.; Z = single bond, CH:CH, CO, etc.; B = H, (un)substituted alkyl, etc.; R3 = H, (un)substituted alkyl, (un)substituted aryl; further detail on R3 is given; Y = CO, SO2; R1a, R1b = H, (un)substituted alkyl, (un)substituted aryl; further detail on R1a and R1b is given; R2 = H, alkyl; further detail related to R1a, R1b and R2 is given; n = 0 or 1; R6 and R60 = H, (un)substituted alkyl, amino, etc.], are prepared Thus, N-((2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]-amino]butyl)-2-phenylacetamide CF3CO2H salt was prepared in 3 steps from 4-trifluoromethyliodobenzene and D-valine. In an in vitro test for tissue kallikrein inhibiting activity, compds. of this invention showed pIC50 values of 6.51 to 7.70. In a test for analgesic activity using mice, compds. of this invention at 30 mg/kg orally showed activity equal to that of indomethacin at 10 mg/kg orally.

IT 866830-42-4P 866830-44-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aniline derivs. as kininogenase inhibitors)

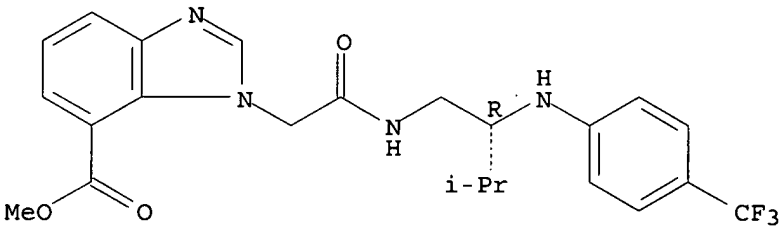
RN 866830-42-4 HCAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[2-[[(2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]amino]butyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 866830-44-6 HCAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[2-[[(2R)-3-methyl-2-[[4-(trifluoromethyl)phenyl]amino]butyl]amino]-2-oxoethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1019865 HCAPLUS
DOCUMENT NUMBER: 142:6536
TITLE: A preparation of benzimidazole derivatives, useful as inhibitors of vanilloid receptor 1
INVENTOR(S): Besidski, Yevgeni; Kers, Inger; Nyloef, Martin; Rotticci, Didier; Slaitas, Andis; Svensson, Mats
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100865	A2	20041125	WO 2004-SE738	20040513
WO 2004100865	A3	20050120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004238177	A1	20041125	AU 2004-238177	20040513
CA 2525628	A1	20041125	CA 2004-2525628	20040513
EP 1626964	A2	20060222	EP 2004-732865	20040513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010316	A	20060523	BR 2004-10316	20040513
CN 1784387	A	20060607	CN 2004-80012619	20040513
JP 2006528971	T	20061228	JP 2006-532186	20040513
IN 2005DN04859	A	20071012	IN 2005-DN4859	20051024
US 2006287377	A1	20061221	US 2005-556229	20051109
MX 2005PA12247	A	20060210	MX 2005-PA12247	20051114
NO 2005005977	A	20060216	NO 2005-5977	20051215
PRIORITY APPLN. INFO.:			SE 2003-1446 A	20030516
			SE 2004-43 A	20040112
			WO 2004-SE738 W	20040513

OTHER SOURCE(S): MARPAT 142:6536

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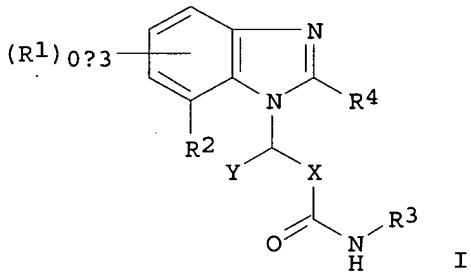

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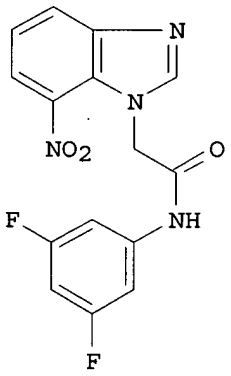
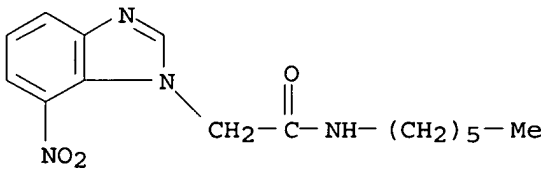


II

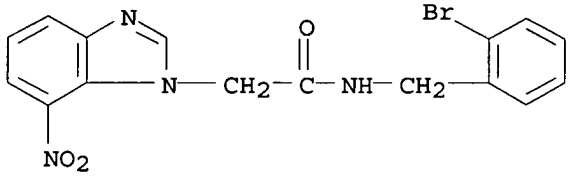
AB The invention relates to a preparation of new benzimidazole derivs. of formula I [wherein: X is CH2 or (CH2)2-4; Y is H or (alkyl)0-2; R1 is H, NO2, halogen, alk(en/yn)yl, or (H/alkyl)C(O), etc.; R2 is NO2, halogen, alk(en/yn)yl, or haloalkyl, etc.; R3 is alkyl, arylalkyl, cycloalkylalkyl, or heteroarylalkyl, etc.; R4 is H or alkyl], useful as inhibitors of vanilloid receptor 1 (VR 1). For instance, benzimidazole derivative II was prepared via amidation of 2-(7-nitro-1H-benzimidazol-1-yl)acetic acid by 3,5-difluoroaniline. The prepared title compds. were screened in fluorometric image plate reader assay (hVR1 FLIPR) (II, IC50 = 50 nM).

IT 796973-17-6P, N-Hexyl-2-(7-nitro-1H-benzimidazol-1-yl)acetamide
796973-21-2P, N-(2-Bromobenzyl)-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 796973-22-3P, 2-(7-Nitro-1H-benzimidazol-1-yl)-N-[3-(trifluoromethyl)benzyl]acetamide 796973-34-7P, 2-(7-Nitro-1H-benzimidazol-1-yl)-N-[2-(trifluoromethyl)benzyl]acetamide 796973-42-7P, 2-(7-Nitro-1H-benzimidazol-1-yl)-N-[4-(trifluoromethyl)benzyl]acetamide 796973-43-8P, N-(4-tert-Butylbenzyl)-2-(7-nitro-1H-benzimidazol-1-yl)acetamide 796973-45-0P, 2-(7-Nitro-1H-benzimidazol-1-yl)-N-[4-(trifluoromethoxy)benzyl]acetamide 796973-68-7P, Methyl 1-[2-[(4-tert-butylbenzyl)amino]-2-oxoethyl]-1H-benzimidazole-7-carboxylate 796973-78-9P, N-(4-tert-Butylbenzyl)-2-[7-(trifluoromethyl)-1H-benzimidazol-1-yl]acetamide 796973-82-5P, N-(4-tert-Butylbenzyl)-2-(7-fluoro-1H-benzimidazol-1-yl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new benzimidazole derivs. useful as inhibitors of vanilloid receptor 1)

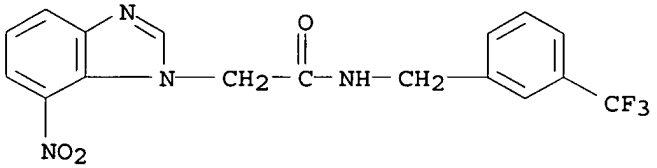
RN 796973-17-6 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-hexyl-7-nitro- (CA INDEX NAME)



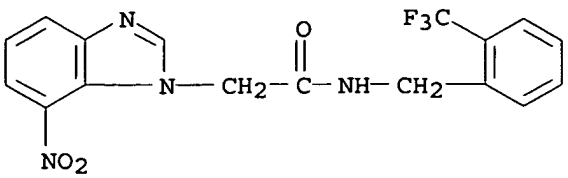
RN 796973-21-2 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[(2-bromophenyl)methyl]-7-nitro- (CA INDEX NAME)



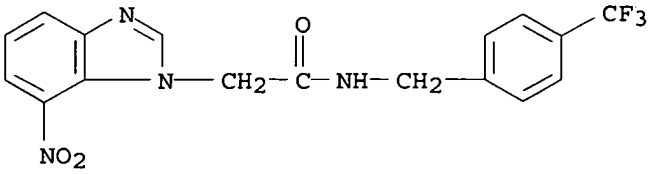
RN 796973-22-3 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



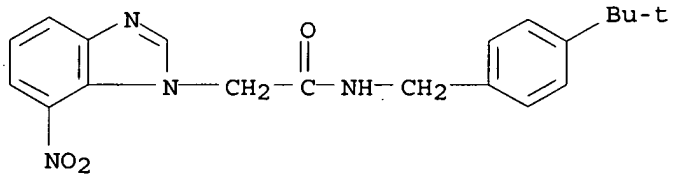
RN 796973-34-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



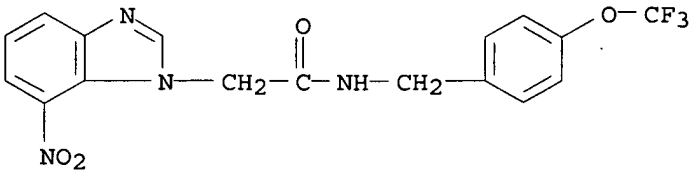
RN 796973-42-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



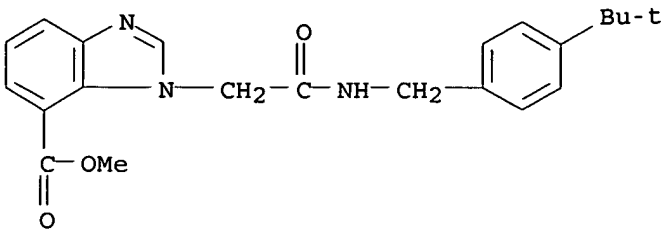
RN 796973-43-8 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-7-nitro- (CA INDEX NAME)



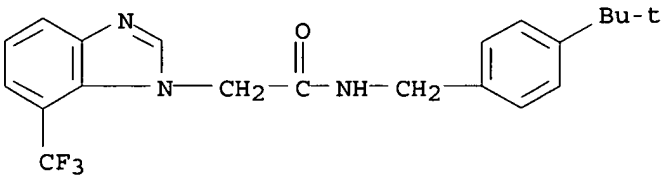
RN 796973-45-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 7-nitro-N-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



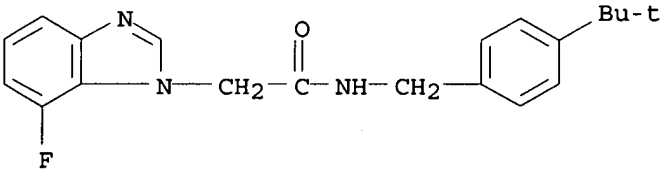
RN 796973-68-7 HCAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]-2-oxoethyl]-, methyl ester (CA INDEX NAME)



RN 796973-78-9 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-7-(trifluoromethyl)- (CA INDEX NAME)



RN 796973-82-5 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-7-fluoro- (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	34.15	206.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.90	-3.90

STN INTERNATIONAL LOGOFF AT 10:20:16 ON 09 NOV 2007